- 1. (Cancelled)
- 2. (Currently Amended) A substituted amine according to claim 148

where R₁ is:

where R_N is:

 $R_{N-1}\text{-}X_N\text{-}$ where X_N is selected from the group consisting of:

-CO-, and

-SO₂-,

where R_{N-1} is $-R_{N-aryl}$;

where RA is:

- $-C_1-C_8$ alkyl,
- -(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,
- $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$
- -cyclopentyl or -cyclohexyl ring fused to R_{A-aryl} ,

or

-C=OR $_7$, where R $_7$ is

C₁ - C₆ alkyl,
phenyl,

thioalkoxyalkyl,

(aryl)alkyl, phenylalkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl,

aryloxyalkyl, phenyloxyalkyl

pienylokydiky

carboxyalkyl,

haloalkyl,

where X is -N or -O, with the proviso that when X is O, R_B is absent; and when X is N,

R_B is:

3. (Currently Amended) A substituted amine according to claim 2

where R_1 is:

 $\frac{(CH_2)}{(R_{1 \text{ aryl}})}$; benzyl, wherein the phenyl portion is optionally substituted with 1 or 2 groups that are F, Cl, C_1 - C_4 alkoxy, CF_3 , C_1 - C_4 alkyl optionally substituted with one

substituent selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -OH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $_NR_{1-a}R_{1-b}$ where R_1 a and R_{1-b} -H or C_1 - C_4 alkyl,

where R₂ is -H; where R_3 is -H; where R_N is:

 $R_{N-1}-X_N$ - where X_N is:

-CO-,

where R_{N-1} is $-R_{N-aryl}$, phenyl, substituted with one, two or three of the following substituents which can be the same or different and are C1-C4 alkyl, optionally substituted with one or two substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF₃, C₁-C₃ alkoxy, and -NR_{1-a}R_{1-b}, -OH, - $\underline{NO_2}$, -F, -Cl, -Br, or -I, -CO-OH, -C \equiv N, -(CH₂)₀₋₄-CO-NR_{N-} $_{2}R_{N-3}$, $_{-}$ (CH₂) $_{0-4}$ -SO₂-NR_{N-2}R_{N-3}, $_{-}$ (CH₂) $_{0-4}$ -SO-(C₁-C₆ alkyl), $_{-}$ $(CH_2)_{0-4}-SO_2-(C_1-C_6 \text{ alkyl}), -(CH_2)_{0-4}-SO_2-(C_3-C_7)$ $\underline{\text{cycloalkyl}}$, $\underline{-(CH_2)_{0-4}}$ -O- $(C_1$ - C_6 alkyl optionally substituted with one, two, three, four, or five -F), C_3-C_7 cycloalkyl, or $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl, where R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of H, and -C1-C6 alkyl optionally substituted with one substituent

selected from -OH, and -NH₂, -C₁-C₆ alkyl

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optionally substituted with one to three -F, -Cl, -Br, or -I, -C<sub>3</sub>-C<sub>7</sub> cycloalkyl, -(C<sub>1</sub>-C<sub>2</sub> alkyl)-(C<sub>3</sub>-C<sub>7</sub> cycloalkyl), and -(C<sub>1</sub>-C<sub>4</sub> alkyl)-O-(C<sub>1</sub>-C<sub>3</sub> alkyl);
```

where R_A is:

 $-C_1-C_8$ alkyl,

-(CH₂)₀₋₃-(C₃-C₇) cycloalkyl,

- $(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$

-cyclopentyl or -cyclohexyl ring fused to $R_{\mathtt{A-aryl}}$,

-cyclopentyl or -cyclohexyl ring fused to $R_{\mathtt{A-aryl}}$,

-C=OR $_7$, where R $_7$ is

 $C_i - C_6$ alkyl,

(aryl)alkyl, phenylalkyl,

cycloalkyl,

cycloalkylalkyl,

hydroxyalkyl,

alkoxyalkyl, or

haloalkyl,

where X is -N or -O, with the proviso that when X is O, $R_{B}\ \text{is absent;}$

and when X is N, and

R_B is:

 $-C_1-C_8$ —alkyl, H or $-C_1-C_6$ alkyl.

 $-(CH_2)_{\theta\rightarrow}-(C_3-C_7)$ eycloalkyl,

 $\frac{}{}$ $\frac{}{$

-----cyclopentyl or cyclohexyl ring fused to R_{B-aryl}.

4. (Currently Amended) A substituted amine according to claim 3, where R_A is: $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$, -cyclopentyl or -cyclohexyl ring fused to R_{A-aryl} , or -C=OR₇, where

R_{A-aryl} is phenyl, 1-naphthyl, or 2-naphthyl, substituted with one, two or three of the following substituents which can be the same or different and are C_1 - C_4 alkyl, optionally substituted with one or two substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C=N, -CF₃, C_1 - C_3 alkoxy, and -NR_{1-a}R_{1-b}, -OH, -NO₂, -F, -Cl, -Br, or -I, -CO-OH, -C=N, -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3}, -(CH₂)₀₋₄-SO₂-(C₁- C_6 alkyl), -(CH₂)₀₋₄-SO₂-(C₁- C_6 alkyl), -(CH₂)₀₋₄-SO₂-(C₃- C_7 cycloalkyl), -(CH₂)₀₋₄-O-(C₁- C_6 alkyl optionally substituted with one, two, three, four, or five -F), C₃- C_7 cycloalkyl, or -(CH₂)₀₋₄- C_3 - C_7 cycloalkyl, where R_{N-2} and R_{N-3} are the same or different and are selected

from the group consisting of H, and $-C_1-C_6$ alkyl optionally substituted with one substituent selected from -OH, and -NH₂, $-C_1-C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I, $-C_3-C_7$ cycloalkyl, $-(C_1-C_2 \text{ alkyl})-(C_3-C_7 \text{ cycloalkyl})$, and $-(C_1-C_4 \text{ alkyl})-O-(C_1-C_3 \text{ alkyl})$;

 R_7 is C_1 - C_6 alkyl, cycloalkyl, cycloalkylalkyl, alkoxyalkyl, or haloalkyl,

 R_{A-x} and R_{A-y} are -H, C_1 - C_4 alkyl optionally substituted with one or two -OH, C_1 - C_4 alkoxy optionally substituted with one, two, or three -F, or phenyl;

where R_B is H or C_1 - C_4 alkyl.

where R_B is:

 $-(CH_2)-(R_{1-aryl})$ where R_{1-aryl} is phenyl.

- 6. (Currently Amended) A substituted amine according to claim $\underline{5}$ $\underline{148}$ where R_1 is \underline{benzyl} substituted with 2 fluorines.
- $\frac{-\left(CH_{2}\right)-\left(R_{1-aryl}\right)}{-F.}$ where R_{1-aryl} is phenyl substituted with two
- 7. (Currently Amended) A substituted amine according to claim 6 where the F substitution R_1 is 3,5-difluorobenzyl.
 - 8. (Cancelled)

- 9. (Cancelled)
- 10. (Currently Amended) A substituted amine according to claim $\underline{5}$ 148—where R_N is $R_{N-1}-X_N$ —where X_N is -CO, where R_{N-1} is $-R_N$ —aryl—where R_N aryl—is phenyl—-C(O)-phenyl, wherein the phenyl is substituted with one $-CO-NR_{N-2}R_{N-3}$ —where the substitution on phenyl—is 1,3—.
- 11. (Currently Amended) A substituted amine according to claim 10 where R_{N-2} and R_{N-3} are independently H or C_1 - C_6 alkyl. the same and are C_3 alkyl.
- 12. (Currently Amended) A substituted amine according to claim $\frac{5}{148}$ where R_N is -C(0)-phenyl, wherein the

 R_{N-1} - X_N - where X_N is CO-, where R_{N-1} - is R_{N-ary1} - where R_{N-ary1} - is phenyl is substituted with one C_1 - alkyl methyl group and with one - CO-NR_{N-2}R_{N-3} where the substitution on the phenyl is 1,3,5.

13. (Currently Amended) A substituted amine according to claim 12 where R_{N-2} and R_{N-3} are independently H or C_1 - C_6 alkyl. the same and are C_3 alkyl.

14-15. (Cancelled)

- 16. (Currently Amended) A substituted amine according to either claim 10 or 12 $\frac{148}{16}$ where R_A is:
- $-(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ where R_{A-aryl} is phenyl, which is optionally substituted with one or two substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, $-C\equiv N$, $-CF_3$, C_1-C_3 alkoxy, and $-NR_{1-a}R_{1-b}$; and wherein the phenyl is optionally fused to a cyclopentyl or cyclohexyl ring; cyclopentyl or cyclohexyl ring fused to a R_{A-aryl} ; and R_{A-x} and R_{A-y} , if present, are both H.
- 17. (Currently Amended) A substituted amine according to claim 16 where R_A is phenyl. : $(CR_{A-x}R_{A-y})_{0-4}-R_{A-aryl}$ where R_{A-aryl} is phenyl.
- 18. (Currently Amended) A substituted amine according to claim 17 claim 16 where phenyl is mono-substituted in at the 3-position or disubstituted at the 3,5-positions.

19-20. (Cancelled)

- 21. (Original) A substituted amine according to claim 16 where R_A is: -cyclohexyl ring fused to a phenyl ring.
- 22. (Currently Amended) A substituted amine according to . claim 148 claim 17, where R_B is H or C_1 - C_4 alkyl. R_B is:

- 23. (Currently Amended) A substituted amine according to claim 22 where R_B is \underline{H} . is: $(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$ where R_{B-aryl} is phenyl,
- - 25-26. (Cancelled)
 - 27. (Cancelled)
- 28. (Currently Amended) A substituted amine according to claim 148, where X is oxygen and R_B is absent.
- 29. (Previously Presented) A substituted amine according to claim 148 chosen from the group consisting of:
- N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-(N'-methyl-N'-phenyl-hydrazino)-propyl]-5-methyl-N',N'-dipropyl-isophthalamide,

 $N-\{1-(3,5-Difluoro-benzyl)-2-hydroxy-3-[N'-methyl-N'-(4-methyl-pentanoyl)-hydrazino]-propyl\}-5-methyl-N',N'-dipropyl-isophthalamide, and$

N-[1-(3,5-Difluoro-benzyl)-2-hydroxy-3-phenoxyamino-propyl]-5-methyl-N', N'-dipropyl-isophthalamide.

30. (Previously Presented) A substituted amine according to claim 148 where the pharmaceutically acceptable salt is selected from the group consisting of salts of the following acids acetic, aspartic, benzenesulfonic, benzoic, bicarbonic, bisulfuric, bitartaric, butyric, calcium edetate, camsylic, carbonic, chlorobenzoic, citric, edetic, edisylic, estolic, esyl, esylic, formic, fumaric, gluceptic, gluconic, glutamic, glycollylarsanilic, hexamic, hexylresorcinoic, hydrabamic, hydrobromic, hydrochloric, hydroiodic, hydroxynaphthoic, isethionic, lactic, lactobionic, maleic, malic, malonic, mandelic, methanesulfonic, methylnitric, methylsulfuric, mucic, muconic, napsylic, nitric, oxalic, p-nitromethanesulfonic, pamoic, pantothenic, phosphoric, monohydrogen phosphoric, dihydrogen phosphoric, phthalic, polygalactouronic, propionic, salicylic, stearic, succinic, sulfamic, sulfamilic, sulfonic, sulfuric, tannic, tartaric, teoclic and toluenesulfonic.

31-143. (Cancelled)

144. (Previously Presented) A composition comprising a compound of formula XV

where R_1 , R_2 , R_3 , R_N , R_A , R_B , and X are as defined in claim 148; and an inert diluent or edible carrier.

- 145. (Original) The composition of claim 144, where said carrier is an oil.
- 146. (Previously Presented) A composition comprising a compound of formula XV

where R_1 , R_2 , R_3 , R_N , R_A , R_B , and X are as defined in claim 148; and an binder, excipient, disintegrating agent, lubricant, or gildant.

147. (Previously Presented) A composition comprising a compound of formula XV

where R_1 , R_2 , R_3 , R_N , R_A , R_B , and X are as defined in claim 148, disposed in a cream, ointment, or patch.

148. (Currently Amended) A substituted amine of formula (XV)

or a salt thereof, where R_1 is $-(CH_2)_{n1}-(R_{1-aryl})$ where n_1 is zero or one and where R_{1-aryl} is phenyl, optionally substituted with one, two, or three, or four of the following substituents on the aryl ring:

(A) C_1 - C_6 alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ -H or C_1 - C_6 alkyl,

(B) C_2 C_6 alkenyl with one or two double bonds, optionally substituted with one, two or three substituents selected from the group consisting of F, C_1 , C_2 , C_3 , C_4 , C_5 , C_6

 $-CF_3$, C_1 - C_3 -alkoxy, and $-NR_{1-a}R_{1-b}$ -where $-R_{1-a}$ -and $-R_{1-b}$ -are -H or $-C_1$ - $-C_6$ -alkyl,

(C) C_2 C_6 alkynyl-with one or two-triple bonds, optionally substituted with one, two or three substituents selected from the group consisting of F, C_1 , C_1 , C_2 alkoxy, and C_1 C_2 alkoxy, and C_3 where C_4 and C_4 alkyl,

- (D) -F, Cl, -Br or -I,
- (F) $-C_1-C_6$ alkoxy optionally substituted with one, two, or three of: -F,
- (G) $-NR_{N-2}R_{N-3}$ where R_{N-2} and R_{N-3} are as defined below,
 - (H) -OH,
 - (I) -C≡N,

(K)
$$-CO-(C_1-C_4 \text{ alkyl})$$
,

 $_{\rm above,}$

where R₂ is:

(1)-H, or C_1 - C_3 alkyl;

or three substituents selected from the group consisting of C_1 - C_3 alkyl, F, Cl, Br, I, OH, SH, C=N, CF_3 , C_1 - C_3 alkoxy, and $NR_{1-a}R_{1-b}$ -where R_{1-a} and R_{1-b} are as defined above,

where R₃ is:

as defined above,

$$[(I)]$$
-H, or C_1 - C_3 alkyl;

(II) C_1 - C_3 -alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, Cl, Br, I, OH, -SH, C=N, -CF₃, C_1 - C_3 -alkoxy, and -NR_{1-a}R_{1-b}-where R_{1-a}-and R_{1-b}-are

where R_N is $R_{N\text{--}1}\text{-}X_N\text{--}$ where X_N is selected from the group consisting of:

- (A) -CO-,
- (B) $-SO_2-$,
- (C) -(CR'R") $_{1\text{-}6}$ where R' and R" are the same or different and are -H or $C_1\text{-}C_4$ alkyl,
 - (E) a single bond;

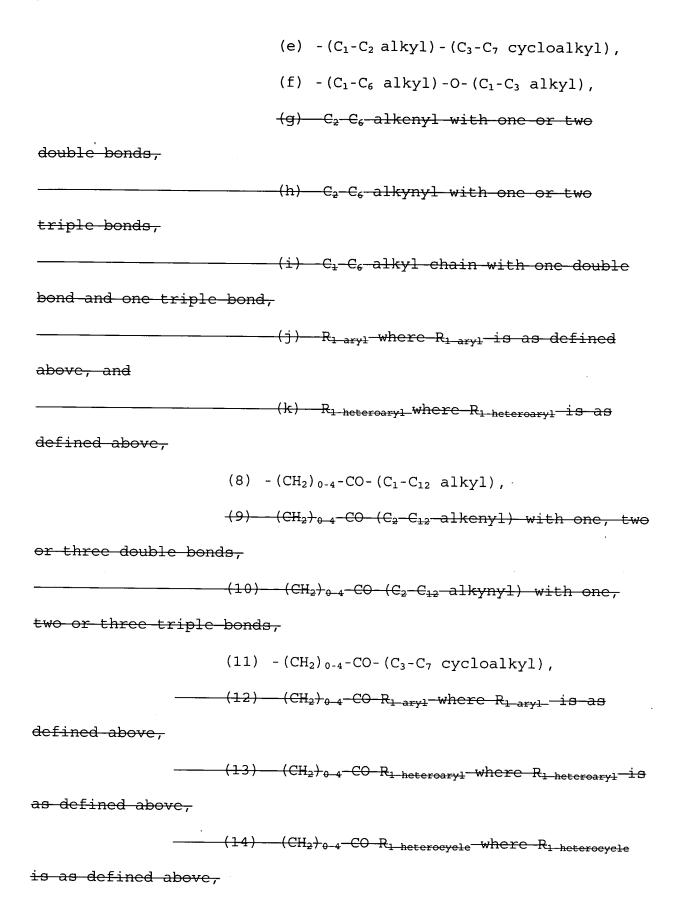
where R_{N-1} is R_{N-aryl} where R_{N-aryl} is phenyl, 1-naphthyl, or 2-naphthyl, tetralinyl, indanyl, dihydronaphthyl or 6,7,8,9-tetrahydro-5H benzo[a]cycloheptenyl, or dihydronaphthyl each of

which is optionally substituted with one, two or three of the following substituents which can be the same or different and are:

(1) C_1 - C_6 alkyl, optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I,

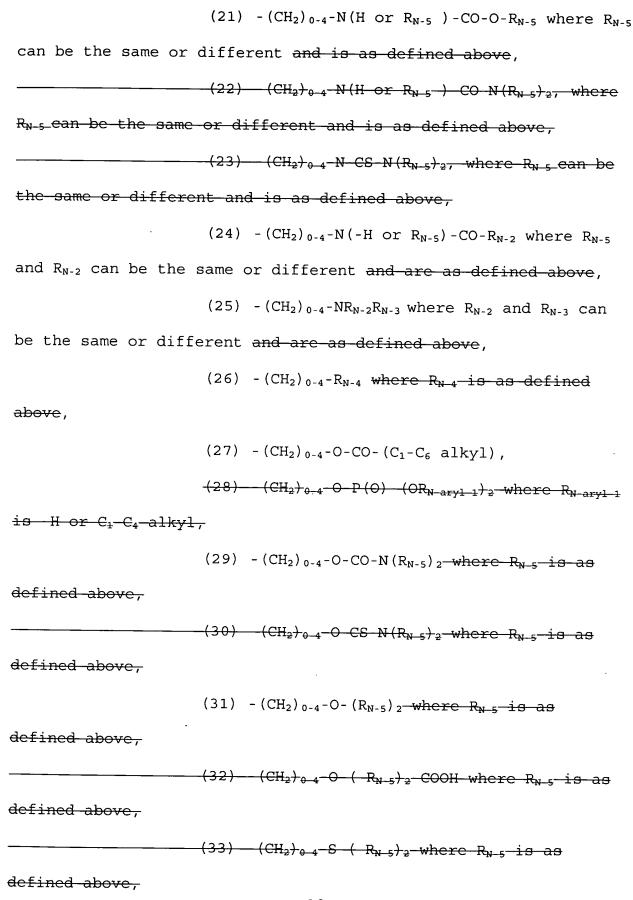
-OH, -SH, -C=N, -CF3, C_1 - C_3 alkoxy, and -NR1-aR1-b where R_{1-a} and R_{1-b} are as defined above,

- (2) OH,
- $(3) NO_2$
- (4) -F, -Cl, -Br, or -I,
- (5) -CO-OH,
- (6) -C≣N,
- $\mbox{(7)} \ \ \mbox{-(CH$_2$)$}_{0\text{-}4}\mbox{-CO-NR$}_{N\text{-}2}R_{N\text{-}3} \mbox{ where } R_{N\text{-}2} \mbox{ and } R_{N\text{-}3} \mbox{ are}$ the same or different and are selected from the group consisting of:
 - (a) -H,
- $\mbox{(b) -C$_1$-C$_6$ alkyl optionally substituted}$ with one substitutent selected from the group consisting of:
 - (i) -OH, and
 - (ii) $-NH_2$,
- (c) $-C_1-C_6$ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I,
 - (d) $-C_3-C_7$ cycloalkyl,



(15) -(CH₂)₀₋₄-CO-R_{N-4} where $R_{\text{N-4}}$ is selected from the group consisting of morpholinyl, thiomorpholinyl, piperazinyl, piperidinyl, homomorpholinyl, homothiomorpholinyl, homothiomorpholinyl S-oxide, homothiomorpholinyl S,S-dioxide, pyrrolinyl and pyrrolidinyl where each group is optionally substituted with one, two, three, or four of C_1 - C_6 alkyl, (16) -(CH₂) $_{0-4}$ -CO-O-R $_{N-5}$ where R $_{N-5}$ is selected from the group consisting of: (a) C_1 - C_6 alkyl, (b) $-(CH_2)_{0-2}-(R_{1-aryl})$ where R_{1-aryl} is as defined above, (c) C2 C6 alkenyl containing one or two double bonds, (d) C2 C6 alkynyl containing one or two triple bonds, (e) C_{3} - C_{7} cycloalkyl, and (f) - (CH₂)₀₋₂ - (R_{1-heteroaryl}) - where -R_{1-heteroaryl} is as defined above, (17) - $(CH_2)_{0-4}$ - SO_2 - $NR_{N-2}R_{N-3}$ - where $-R_{N-2}$ - and $-R_{N-3}$ are as defined above. $\frac{(18) - (CH_2)_{0-4} - SO - (C_1 - C_8 - alkyl)_{7}}{(C_1 - C_8 - alkyl)_{7}}$ $-(19) - (CH_2)_{0-4} - SO_2 - (C_1 - C_{12} - alkyl),$ $\frac{}{(20)} \frac{}{(\text{CH}_2)_{\theta-4}} \frac{}{-\text{SO}_2} \frac{}{(\text{C}_3 - \text{C}_7)}$

cycloalkyl),



 $(34) - (CH_2)_{0-4} - O - (C_1 - C_6 \ alkyl \ optionally$ substituted with one, two, three, four, or five -F),

(35) C_3-C_7 cycloalkyl,

 $\frac{(36) \ C_2 - C_6 \ alkenyl \ with \ one \ or \ two \ double}{bonds \ optionally \ substituted \ with \ C_1 - C_3 \ alkyl, \ F, \ Cl, \ Br, \ I,}$ $\frac{OH, \ SH, \ C=N, \ CF_3, \ C_1 - C_3 - alkoxy, \ or \ NR_{1-a}R_{1-b} - where \ R_{1-a} \ and \ R_{1-b}}{are \ as \ defined \ above,}$

bonds optionally substituted with C_1 C_3 alkyl, F, C_1 Br, I, OH, SH, C=N, CF_3 , C_1 C_2 alkoxy, or $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

 $\frac{(38) \quad (CH_2)_{0-4} - N(-H \ or \ R_{N-5}) - SO_2 - R_{N-2} - where \ R_{N-5}}{and \ R_{N-2} - can \ be the same or different and are as described}$ above, or

(39)
$$-(CH_2)_{0-4}-C_3-C_7$$
 cycloalkyl,

where R_A is:

(I)- C_1 - C_{10} alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, -O-phenyl, -NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above, -OC=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above, -S(=O) $_{0-2}$ R $_{1-a}$ where R $_{1-a}$ is as defined above, -NR $_{1-a}$ C=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as defined above, -C=O NR $_{1-a}$ R $_{1-b}$ where R $_{1-a}$ and R $_{1-b}$ are as

defined above, and $-S(=0)_2$ $NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

 $\frac{(\text{II}) - (\text{CH}_2)_{0-3} - (\text{C}_3 - \text{C}_8) - \text{cycloalkyl where cycloalkyl-ean}}{\text{be optionally substituted with one, two or three substituents}}$ $\frac{\text{selected from the group consisting of C}_1 - \text{C}_3 - \text{alkyl}, \quad \text{F, Cl, Br,}}{\text{II, OH, SH, C=N, CF}_3, \quad \text{C}_1 - \text{C}_6} - \text{alkoxy, O phenyl, CO OH, CO O}}{\text{C}_1 - \text{C}_4 - \text{alkyl}}, \quad \text{and NR}_{1-a}\text{R}_{1-b} - \text{where R}_{1-a} - \text{and R}_{1-b} - \text{are as defined}}$ $\frac{\text{above}_7}{\text{above}_7}$

(III) -(CRA-xRA-y)0-4-RA-aryl where $R_{\text{A-x}}$ and $R_{\text{A-y}}$ are

- (A) -H,
- (B) $C_1\text{-}C_4$ alkyl optionally substituted with one or two -OH,
- (C) C_1 - C_4 alkoxy optionally substituted with one, two, or three of: -F,
 - (D) $-(CH_2)_{0-4}-C_3-C_7$ cycloalkyl,
- (E) C_2 - C_6 alkenyl containing one or two double bonds,
- (F) $C_2\text{-}C_6$ alkynyl containing one or two triple bonds,
 - (G) phenyl,

and where R_{A-x} and R_{A-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six, or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group

consisting of -0-, S-, SO2-, and NRN2- and RA arylis the same as $R_{N \ aryl}$;

(IV) -cyclopentyl, -cyclohexyl, or -cycloheptyl ring fused to R_{A-aryl} , where R_{A-aryl} is as defined above where one carbon of cyclopentyl, cyclohexyl, or -cycloheptyl is optionally replaced with NH, NR_{N-5} , O, or $S(=O)_{0-2}$, and where cyclopentyl, cyclohexyl, or -cycloheptyl can be optionally substituted with one or two $-C_1-C_3$ alkyl, -F, -OH, -SH, -C=N, $-CF_3$, C_1-C_6 alkoxy, =O, or $-NR_{1-a}R_{1-b}$ where R_{1-a} and R_{1-b} are as defined above,

 $\frac{\text{(V)} - \text{CH}(-\text{CH}_2-\text{OH}) - \text{CH}(-\text{OH}) - \text{phenyl} - \text{NO}_2}{\text{(VI)} - \text{H}},$

(VII)

---C=OC(HR₆)NHR₇, where R₆ and R₇ are as defined

below

-C=OR₇, where R₇ is as defined below, or

-C=OOR₂, where R₇ is as defined below, or

-SOOR₄ where R₇ is as defined below,

wherein R₆ is:

hydrogen

- cycloalkyl,

	alkoxyalkyl,
	aryloxyalkyl,
·	——————————————————————————————————————
	carboxyalkyl,
	alkoxycarbonylalkyl
	aminoalkyl,
	——————————————————————————————————————
	alkylaminoalkyl,
	((N protected) (alkyl) amino) alkyl
	dialkylaminoalkyl,
	guanidinoalkyl,
	lower alkenyl,
	(heterocyclic) alkyl),
	arylthicalkyl,
	arylsulfonyalkyl,
	——————————————————————————————————————
	(heterocyclic) sulfonylalkyl,
	(heterocyclic) oxyalkyl,
	arylalkoxyalkyl,
	arylalkylsulfonylalkyl,
	-23-

. .

(heterocyclic) thioalkoxyalkyl,
(heterocyclic) alkylsulfonylalkyl,
——————————————————————————————————————
cycloalkylthioalkoxyalkyl,
cycloalkylalkylsulfonylalkyl,
aminocarbonyl,
alkylaminocarbonyl,
dialkylaminocarbonyl,
aroylalkyl,
——————————————————————————————————————
polyhydroxyalkyl,
aminocarbonylalkyl,
alkylaminocarbonylalkyl,
dialkylaminocarbonylalkyl,
aryloxyalkyl, or
alkylsulfonylalkyl,
thiazolyl, isothiazolyl, exazolyl, isoxazolyl, furanyl, thienyl
tetrahydrofuranyl, tetrahydrothienyl and tetrahydro[2H]pyranyl
and wherein the heterocycle is unsubstituted or substituted with
one to three substituents independently selected from hydroxy,
halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, -24-

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haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH,
-SO₃H, lower alkenyl or lower alkyl;
                     wherein R<sub>7</sub> is:
                          C_1 - C_6 alkyl,
                          phenyl,
                          thioalkoxyalkyl,
                           (aryl)alkyl,
                          cycloalkyl,
                          cycloalkylalkyl,
                          hydroxyalkyl,
                          alkoxyalkyl,
                          aryloxyalkyl,
                          haloalkyl,
                          carboxyalkyl,
                          alkoxycarbonylalkyl,
                          aminoalkyl,
                          (N protected) aminocalkyl,
                          alkylaminoalkyl,
                          (N-protected) (alkyl) amino) alkyl,
                          dialkylaminoalkyl,
                          guanidinoalkyl,
                          lower alkenyl,
                          heterocyclic,
                          (heterocyclic)alkyl),
                         arylthioalkyl,
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-25-

arylsulfonylalkyl,
(heterocyclic) thioalkyl,
(hterocyclic) sulfonylalkyl
(heterocyclic)oxyalkyl
arylalkoxyalkyl,
arylthioalkoxyalkyl,
(heterocyclic)alkoxyalkyl,
(heterocyclic)thioalkoxyalkyl
——————————————————————————————————————
cycloalkyloxyalkyl,
cycloalkylsulfonylalkyl,
cycloalkylalkylsulfonylalkyl,
aminocarbonyl,
dialkylaminocarbonyl,
aroylalkyl,
——————————————————————————————————————
——————————————————————————————————————
dialkylaminocarbonylalkyl,
-26-

.

wherein heterocyclic is pyridyl, thiazolyl, isothiazolyl, oxazolyl, isoxazolyl, furanyl, thienyl, tetrahydrofuranyl, tetrahydrothienyl, and tetrahydro[2H]pyranyl and wherein the heterocycle is unsubstituted or substituted with one to three substituents independently selected from hydroxy, halo, amino, alkylamino, dialkylamino, alkoxy, polyalkoxy, haloalkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, COOH, SO₃H, lower alkenyl or lower alkyl;

where X is -N, or -O, with the proviso that when X is O, $R_{\mbox{\scriptsize B}}$ is absent;

and when X is N,

R_B is:

[(I)] $-C_1-C_{10}$ alkyl optionally substituted with one, two or three substituents selected from the group consisting of C_1-C_3 alkyl, -F, -Cl, -Br, -I, -OH,

-SH, -C \equiv N, CF₃, C₁-C₆ alkoxy, -O-phenyl, -NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -OC=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -S(\equiv O)₀₋₂ R_{1-a} where R_{1-a} is as defined above, NR_{1-a} aC=ONR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, -C=O NR_{1-a}R_{1-b} where R_{1-a} and R_{1-b} are as defined above, and S(\equiv O)₂ NR_{1-a}R_{1-b}, where R_{1-a} and R_{1-b} are as defined above,

(II) $-(CH_2)_{0-3}-(C_3-C_8)$ cycloalkyl where cycloalkyl can be optionally substituted with one, two or three substituents

selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_6 alkoxy, -O-phenyl, -CO-OH, -CO-O-(C_1 - C_4 alkyl), and NR $_{1-a}$ R $_{1-b}$: where R_{1-a} and R_{1-b} are as defined above.

(III) $(CR_{B-x}R_{B-y})_{0-4}-R_{B-aryl}$ where R_{B-x} and R_{B-y} are — (A)—H, (B) C₁-C₄ alkyl optionally substituted with one or two OH, --- (C) C₁-C₄-alkoxy optionally substituted with one, two or three of F, -(D)-(CH₂)₀₋₄-C₃-C₇-cycloalkyl,(E) C2-C6 alkenyl containing one or two double bonds, -(F) C₂-C₆ alkynyl containing one or two triple bonds, or (C) phenyl, and where R_{B-x} and R_{B-y} are taken together with the carbon to which they are attached to form a carbocycle of three, four, five, six or seven carbon atoms, optionally where one carbon atom is replaced by a heteroatom selected from the group consisting of 0 , S , SO_2 , and NR_{N-2} where R_{N-2} is as defined $_{
m above}$, and $R_{
m B-aryl}$ is the same as $R_{
m N-aryl}$ and is defined above -(IV)-CH(R_{B-aryl})₂-where-R_{B-aryl}-are the same or different and are as defined above,

149. (New) A compound according to claim 11, wherein

$$R_N$$
 is of the formula $NR_{N-2}R_{N-3}$

150. (New) A compound according to claim 149, wherein $R_{N\text{--}2}$ and $R_{N\text{--}3}$ are both C_3 alkyl.

151. (New) A compound according to claim 13, wherein

$$\begin{array}{c}
O \\
V_{2}
\end{array}$$

$$\begin{array}{c}
O \\
NR_{N-2}R_{N-3}
\end{array}$$

$$\begin{array}{c}
CH_3
\end{array}$$

 R_N is of the formula

152. (New) A compound according to claim 151, wherein

 $R_{N\text{--}2}$ and $R_{N\text{--}3}$ are both C_3 alkyl.

153. (New) A compound according to claim 28, wherein R_1 is benzyl, wherein the phenyl portion is optionally substituted with 1 or 2 groups that are F, Cl, C_1 - C_4 alkoxy, CF_3 , C_1 - C_4 alkyl optionally substituted with one substituent selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -OH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and $_1$ NR $_1$ - $_2$ R $_1$ - $_3$ where R_1 - $_4$ and R_1 - $_5$ -H or C_1 - C_4 alkyl,

 R_2 is -H;

 R_3 is -H;

 R_N is $R_{N-1}-X_N-$ where X_N is -CO-, and R_{N-1} is phenyl substituted with one, two or three of the following substituents which can be the same or different and are C_1-C_4 alkyl, -OH, -NO₂, -F, -Cl, -Br, or -I, -CO-OH, -C \equiv N, -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3}, where

 R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of H, and $-C_1-C_6$ alkyl optionally substituted with one substituent selected from -OH, and -NH₂, -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I, -C₃-C₇ cycloalkyl, -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl), and -(C₁-C₄ alkyl)-O-(C₁-C₃ alkyl).

154. (New) A compound according to claim 153, wherein $R_A \mbox{ is } -(CR_{A-x}R_{A-y})_{\,0-4} - R_{A-aryl}, \mbox{ or } -C=OR_7, \mbox{ where}$

 R_{A-aryl} is phenyl, 1-naphthyl, or 2-naphthyl, substituted with one, two or three of the following substituents which can be the same or different and are C_1 - C_4 alkyl optionally substituted with one or two substituents selected from the group consisting of C_1 - C_3 alkyl, -F, -Cl, -Br, -I, -OH, -SH, -C \equiv N, -CF $_3$, C_1 - C_3 alkoxy, and -NR $_{1-a}$ R $_{1-b}$, -OH, -NO $_2$, -F, -Cl, -Br, or -I, -CO-OH, -C \equiv N, -(CH $_2$) $_{0-4}$ -CO-NR $_{N-2}$ R $_{N-3}$, -(CH $_2$) $_{0-4}$ -SO $_2$ -NR $_{N-2}$ R $_{N-3}$, -(CH $_2$) $_{0-4}$ -SO $_2$ -(C $_1$ -C $_6$ alkyl), -(CH $_2$) $_{0-4}$ -SO $_2$ -(C $_3$ -C $_7$ cycloalkyl), -(CH $_2$) $_{0-4}$ -O-(C $_1$ -C $_6$ alkyl optionally substituted with one, two, three, four, or five -F), C $_3$ -C $_7$ cycloalkyl, or -(CH $_2$) $_{0-4}$ - C $_3$ -C $_7$ cycloalkyl, where R_{N-2} and R_{N-3} are the same or different and are selected

from the group consisting of \dot{H} , and $-C_1-C_6$ alkyl; R_7 is C_1 - C_6 alkyl;

 $R_{\text{A-x}}$ and $R_{\text{A-y}}$ are -H, $\text{C}_1\text{-C}_4$ alkyl, or phenyl.

155. (New) A compound according to claim 154, wherein R_1 is benzyl, wherein the phenyl portion is substituted with 1 or 2 groups that are F, Cl, C_1 - C_4 alkoxy, CF_3 , or C_1 - C_4 alkyl; R_{A-aryl} is phenyl substituted with one or two of the following substituents C_1 - C_4 alkyl, optionally substituted with

one or two substituents selected from the group consisting of C_1 - C_3 alkyl, -OH, -NO₂, -F, -Cl, -Br, or -I, -CO-OH, -C \equiv N, -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3}, and -(CH₂)₀₋₄-O-(C₁-C₆ alkyl optionally substituted with one, two, three, four, or five -F, where

 R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of H, and $-C_1-C_6$ alkyl.

- 156. (New) A substituted amine according to claim 155 where $R_N \mbox{ is } -C \, (\text{O}) \mbox{-phenyl, wherein the phenyl is substituted with one} \\ -CO-NR_{N-2}R_{N-3}.$
- 157. (New) A substituted amine according to claim 156 where $R_{N\text{--}2}$ and $R_{N\text{--}3}$ are independently H or $C_1\text{--}C_6$ alkyl.
- 158. (New) A compound according to claim 157, wherein $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are both C_3 alkyl.
- 159. (New) A substituted amine according to claim 155 where R_N is -C(O)-phenyl, wherein the phenyl is substituted with one methyl group and with one -CO-NR_{N-2}R_{N-3}.
- 160. (New) A substituted amine according to claim 159 where $$R_{N-2}$$ and $$R_{N-3}$$ are independently H or $C_1\text{-}C_6$ alkyl.

- 161. (New) A compound according to claim 160, wherein $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are both C_3 alkyl.
- 162. (New) A compound according to claim 4, wherein R_7 is C_1 C_6 alkyl;
- R_1 is benzyl, wherein the phenyl portion is substituted with 1 or 2 groups that are F, Cl, $C_1\text{-}C_4$ alkoxy, CF_3 , or $C_1\text{-}C_4$ alkyl; and
- R_N is $R_{N-1}-X_N-$ where X_N is -CO-, and R_{N-1} is phenyl substituted with one, two or three of the following substituents which can be the same or different and are C_1-C_4 alkyl, -OH, -NO₂, -F, -Cl, -Br, or -I, -CO-OH, -C \equiv N, -(CH₂)₀₋₄-CO-NR_{N-2}R_{N-3}, where
 - R_{N-2} and R_{N-3} are the same or different and are selected from the group consisting of H, and $-C_1-C_6$ alkyl optionally substituted with one substituent selected from -OH, and -NH₂, -C₁-C₆ alkyl optionally substituted with one to three -F, -Cl, -Br, or -I, -C₃-C₇ cycloalkyl, -(C₁-C₂ alkyl)-(C₃-C₇ cycloalkyl), and -(C₁-C₄ alkyl)-O-(C₁-C₃ alkyl).
 - 163. (New) A compound according to claim 162, wherein

- R_N is -C(O)-phenyl, wherein the phenyl is substituted with one $-CO-NR_{N-2}R_{N-3}. \label{eq:constraint}$
- 164. (New) A substituted amine according to claim 163 where $R_{N\text{--}2}$ and $R_{N\text{--}3}$ are independently H or $C_1\text{--}C_6$ alkyl.
- 165. (New) A compound according to claim 164, wherein $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are both C_3 alkyl.
- 166. (New) A substituted amine according to claim 162 where R_N is -C(O)-phenyl, wherein the phenyl is substituted with one methyl group and with one -CO-NR_{N-2}R_{N-3}.
- 167. (New) A substituted amine according to claim 166 where $R_{N\text{--}2}$ and $R_{N\text{--}3}$ are independently H or $C_1\text{--}C_6$ alkyl.
- 168. (New) A compound according to claim 167, wherein $R_{N\text{-}2}$ and $R_{N\text{-}3}$ are both C_3 alkyl.